INTERNATIONAL SEARCH REPORT

International Application No
PCT/US2004/030921

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07K5/00 C07K5/04 C07K5/06 C07K1/06 A61K38/04
A61K38/05 A61K31/395

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07K A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, EMBASE, BIOSIS, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	JONES, IWAN G. ET AL: "The use of norbornene derivatives in the synthesis of conformationally constrained peptides and pseudo-peptides" LETTERS IN PEPTIDE SCIENCE , CODEN: LPSCEM; ISSN: 0929-5666, vol. 5, no. 2-3, May 1998 (1998-05), pages 171-173, XP002310107 * Schemes 1 and 2 * abstract page 173 ----- -/--	1-7, 27-32, 34,35, 42-61

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
13 December 2004	13. 07. 2005

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Jenn, T

Form PCT/ISA/210 (second sheet) (January 2004)

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International Application No
PCT/US2004/030921

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	NIEMAN J A ET AL: "Synthesis and Antimitotic/Cytotoxic Activity of Hemiasterlin Analogues" JOURNAL OF NATURAL PRODUCTS, vol. 66, no. 2, February 2003 (2003-02), pages 183-199, XP002249342 ISSN: 0163-3864 cited in the application Chart 1 abstract; figure 2	1-7, 27-32, 34,35, 42-61
A	WO 99/32509 A (UNIV BRITISH COLUMBIA ; COLEMAN JOHN (CA); NIEMAN JAMES (CA); PIERS ED) 1 July 1999 (1999-07-01) cited in the application abstract; claims 1-18; figure 3	1-7, 27-32, 34,35, 42-61
A	DRAGOVICH P S ET AL: "Structure-based design, synthesis, and biological evaluation of irreversible human rhinovirus 3C protease inhibitors. 1. Michael acceptor structure-activity studies" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 41, no. 15, 16 July 1998 (1998-07-16), pages 2806-2818, XP002100728 ISSN: 0022-2623 cited in the application abstract; tables 1,3	1-7, 27-32, 34,35, 42-61
A	DE 40 16 994 A (BAYER AG) 28 November 1991 (1991-11-28) cited in the application abstract; compounds 37,38,41-47,54,56	1-7, 27-32, 34,35, 42-61
A	WO 01/79167 A (AGOURON PHARMA) 25 October 2001 (2001-10-25) abstract; claims 1-87	1-7, 27-32, 34,35, 42-61
A	HAUSKE J R ET AL: "DESIGN AND SYNTHESIS OF NOVEL FKBP INHIBITORS" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 35, no. 23, 30 October 1992 (1992-10-30), pages 4284-4296, XP000647303 ISSN: 0022-2623 cited in the application abstract; table V; compounds 44, 47, 48	1-7, 27-32, 34,35, 42-61

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INTERNATIONAL SEARCH REPORT

International Application No
PCT/US2004/030921

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 99/31122 A (AGOURON PHARMA) 24 June 1999 (1999-06-24) cited in the application abstract; claim 28	1-7, 27-32, 34,35, 42-61
P,X	WO 03/082268 A (EISAI CO LTD ; KOWALCZYK JAMES J (US); SPYVEE MARK (US); YANG HU (US);) 9 October 2003 (2003-10-09) abstract; claims 1-14,67-72,78-94	1-7, 27-32, 34,35, 42-61

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Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [X] Claims Nos.: 58-61
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 58-61 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

2. [ ] Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. [ ] As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [ ] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. [X] No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

34, 35, 42-44 (full) and parts of claims 1-7, 27-32 and 45-61

Remark on Protest

[ ] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 34, 35, 42-44 (full) and parts of claims 1-7, 27-32 and 45-61

A compound according to claim 6 wherein X2 is CO and all other moieties/substituents are as defined in claim 32, excluding such compounds according to claim 8;
An intermediate for the preparation of said compound;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

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2. claims: 1-7, 27-31 and 45-61 (all in part)

A compound according to claim 6 wherein X2 is CO and the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 30, excluding such compounds according to claims 8 and/or 32;
An intermediate for the preparation of said compound;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

3. claims: 1-7, 27, 28 and 45-61, all in part

A compound according to claim 6 wherein X2 is CO and the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 28, excluding such compounds according to claims 8 and/or 32 and/or 30;
An intermediate for the preparation of said compound;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

4. claims: 1-7, 27 and 45-61, all in part

Concerning a compound according to claim 6 wherein X2 is CO and the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 27, excluding such compounds according to claims 8 and/or 32 and/or 30 and/or 28;
An intermediate for the preparation of said compound;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

5. claims: 1-7, 27-32 and 55-61, all in part

A compound according to claim 6 wherein X2 is different from CO and the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 27, excluding such compounds according to claim 8;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

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6. claims: 1-7, 27-32 and 55-61, all in part

A compound according to claim 4 wherein X1 is different from CO and the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 27, excluding such compounds according to claim 8;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

7. claims: 1-11, 27-32 and 45-61, all in part

A compound according to claim 8 wherein the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 27;
An intermediate for the preparation of said compound;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

8. claims: 1, 2, 27-32 and 55-61, all in part

A compound according to claim 2 wherein the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 27, excluding such compounds wherein both X1 and X2 are CO;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

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9. claims: 37 and 38 (full) and parts of claims 1, 12-21, 27-31 and 55-61

A compound according to claim 12 wherein the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 27;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

10. claims: 1, 22-31 and 55-61, all in part

A compound according to claim 22 wherein the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 27;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

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11. claims: 1, 27-31 and 55-61, all in part

A compound according to claim 27, excluding the compounds/inventions 1-10;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

12. claims: 40 (full) and parts of claims 1-11 and 55-61

A compound according to claim 8 wherein n=1, excluding such compounds wherein the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 27;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

13. claims: 1-9 and 55-61, all in part

A compound according to claim 8 wherein n is 0;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

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14. claims: 1-9 and 55-61, all in part

A compound according to claim 8 wherein n is 2;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

15. claims: 1-9 and 55-61, all in part

A compound according to claim 8 wherein n is 3;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

16. claims: 1-9 and 55-61, all in part

A compound according to claim 8 wherein n is 4;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

17. claims: 33 and 39 (full) and parts of claims 1-7 and 55-61

A compound according to claim 6 wherein n=1 and X2 is CO, excluding such compounds wherein the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 27, and excluding such compounds according to claim 8;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

18. claims: 1-7 and 55-61, all in part

A compound according to claim 6 wherein n is 0 and X2 is CO, excluding such compounds according to claim 8;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

19. claims: 1-7 and 55-61, all in part

A compound according to claim 6 wherein n is 2 and X2 is CO, excluding such compounds according to claim 8;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

20. claims: 1-7 and 55-61, all in part

A compound according to claim 6 wherein n is 3 and X2 is CO, excluding such compounds according to claim 8;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

21. claims: 1-7 and 55-61, all in part

A compound according to claim 6 wherein n is 4 and X2 is CO, excluding such compounds according to claim 8;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

22. claims: 1, 2, 6, 7 and 55-61, all in part

A compound according to claim 6 wherein X2 is different from CO, excluding such compounds wherein the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 27;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

23. claims: 1-5 and 55-61, all in part

A compound according to claim 4 wherein X1 is different from CO, excluding such compounds wherein the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 27;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

24. claims: 1, 2 and 55-61, all in part

A compound according to claim 2 wherein X1 and X2 are both different from CO, excluding such compounds wherein the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 27;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

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25. claims: 36, 41 (full) and parts of claims 1, 12-21 and 55-61

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

A compound according to claim 12 wherein n=1, excluding such compounds wherein the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 27;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

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26. claims: 1, 12-16 and 55-61, all in part

A compound according to claim 12 wherein n=0;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

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27. claims: 1, 12-16 and 55-61, all in part

A compound according to claim 12 wherein n=2;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

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28. claims: 1, 12-16 and 55-61, all in part

A compound according to claim 12 wherein n=3;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

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29. claims: 1, 12-16 and 55-61, all in part

A compound according to claim 12 wherein n=4;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

---

30. claims: 1, 22-26 and 55-61, all in part

A compound according to claim 22 wherein n=1, excluding such compounds wherein the moiety -(CR3R4)nNR1R2 has the structure as defined in claim 27;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

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31. claims: 1, 22, 23 and 55-61, all in part

A compound according to claim 22 wherein n=0;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

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32. claims: 1, 22, 23 and 55-61, all in part

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

A compound according to claim 22 wherein n=2;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.
---

33. claims: 1, 22, 23 and 55-61, all in part

Acompound according to claim 22 wherein n=3;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.
---

34. claims: 1, 22, 23 and 55-61, all in part

A compound according to claim 22 wherein n=4;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.
---

35. claims: 1 and 55-61, all in part

A compound acording to claim 1, excluding all compounds/inventions 1-34;
A pharmaceutical composition comprising said compound;
Use of said compound for treating cancer.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No
PCT/US2004/030921

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